Int'l Appl. No. : F Int'l Filing Date : C

PCT/JP2003/013018 October 10, 2003

AMENDMENTS TO THE CLAIMS

Please amend the Claims as follows. Insertions are shown <u>underlined</u> while deletions are struck through.

1 (original): An arbutin ester compound represented by formula (1):

Formula (1)

wherein Ra is a hydrophobic group.

2 (original): An arbutin ester compound according to claim 1, which is represented by formula (2):

Formula (2)

wherein R₁ is a single bond, an alkylene group or an arylene group.

3 (original): An arbutin ester compound according to claim 1, which is represented by formula (3):

Formula (3)

wherein R₁ is a single bond, an alkylene group or an arylene group.

4 (original): An arbutin ester compound according to claim 1, which is represented by formula (4):

Int'l Appl. No. : PCT/JP2003/013018
Int'l Filing Date : October 10, 2003

Formula (4)

wherein R₁ is a single bond, an alkylene group or an arylene group.

5 (original): An arbutin ester compound according to claim 1, which is represented by formula (5):

Formula (5)

wherein R₁ is a single bond, an alkylene group or an arylene group.

6 (original): An arbutin ester compound according to claim 1, which is represented by formula (6):

Formula (6)

wherein R_1 is a single bond, an alkylene group or an arylene group; and R_2 is an alkyl group or an aryl group.

7 (original): An arbutin ester compound according to claim 1, which is represented by formula (7):

Formula (7)

Int'l Appl. No.
Int'l Filing Date

PCT/JP2003/013018

October 10, 2003

wherein R_1 , R_3 , R_4 and R_5 are each independently a single bond, an alkylene group or an arylene group; and X represents a number of repeating units and is 1 to 6.

8 (original): An arbutin ester compound according to claim 1, which is represented by formula (8):

Formula (8)

wherein R₁ is a single bond, an alkylene group or an arylene group.

9 (original): An arbutin ester compound according to claim 1, which is represented by formula (9):

Formula (9)

wherein R₁ is a single bond, an alkylene group or an arylene group.

10 (original): An arbutin ester compound according to claim 1, which is represented by formula (10):

Formula (10)

Int'l Appl. No.

PCT/JP2003/013018 October 10, 2003

Int'l Filing Date

CH2OCO-R1-CH3 OH

wherein R₁ is a single bond, an alkylene group or an arylene group.

11 (currently amended): A tyrosinase inhibitor comprising, as an active ingredient, at least one of the arbutin ester compounds according to claims 1-to-10.

12 (original): An external preparation for the skin, comprising the tyrosinase inhibitor according to claim 11.

13 (original): A process for producing an arbutin ester compound, comprising the step of carrying out an esterification reaction of arbutin with a carboxylic acid compound represented by one of formulae (11) to (19):

Formula (11)

A-OCO-R₁-CH=CH₂

wherein A is hydrogen or a substituted or unsubstituted alkyl or vinyl group; and R₁ is a single bond, an alkylene group or an arylene group;

Formula (12)

$$A-OCO-R_1-C(CH_3)=CH_2$$

wherein A is hydrogen or a substituted or unsubstituted alkyl or vinyl group; and R₁ is a single bond, an alkylene group or an arylene group;

Formula (13)

wherein A is hydrogen or a substituted or unsubstituted alkyl or vinyl group; and R₁ is a single bond, an alkylene group or an arylene group;

Formula (14)

A-OCO-R₁-COOH

Int'l Appl. No.
Int'l Filing Date

PCT/JP2003/013018 October 10, 2003

wherein A is hydrogen or a substituted or unsubstituted alkyl or vinyl group; and R₁ is a single bond, an alkylene group or an arylene group;

Formula (15)

A-OCO-R₁-COO-R₂

wherein A is hydrogen or a substituted or unsubstituted alkyl or vinyl group; R_1 is a single bond, an alkylene group or an arylene group; and R_2 is an alkyl group or an aryle group;

Formula (16)

A-OCO-R₁-[- R₃-CH=CH-R₄-]_X -R₅-CH₃

wherein A is hydrogen or a substituted or unsubstituted alkyl or vinyl group; R_1 , R_3 , R_4 and R_5 are each independently a single bond, an alkylene group or an arylene group; and X represents a number of repeating units and is 1 to 6;

Formula (17)

A-OCO-R₁-C(CH₃)₃

wherein A is hydrogen or a substituted or unsubstituted alkyl or vinyl group; and R_1 is a single bond, an alkylene group or an arylene group;

Formula (18)

A-OCO-R₁-C₆H₅

wherein A is hydrogen or a substituted or unsubstituted alkyl or vinyl group; and R_1 is a single bond, an alkylene group or an arylene group;

Formula (19)

A-OCO-R₁-CH₃

wherein A is hydrogen or a substituted or unsubstituted alkyl or vinyl group; and R_1 is a single bond, an alkylene group or an arylene group.

Int'l Appl. No.
Int'l Filing Date

PCT/JP2003/013018 October 10, 2003

14 (original): The process according to claim 13, wherein the esterification is carried out in the presence of an enzyme catalyst.

15 (original): The process according to claim 13, wherein the esterification is carried out in the presence of a chemical catalyst.

16 (original): The process according to claim 13, wherein the esterification is carried out while performing a dehydration treatment.

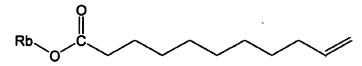
17 (original): The process according to claim 13, wherein the esterification reaction step is followed by the steps of:

extracting and isolating unreacted carboxylic acid derivative(s) from the esterification reaction mixture with a nonpolar organic solvent; and subsequently,

adding excess water to extract and isolate unreacted arbutin and to precipitate the arbutin ester compound.

18 (original): A tyrosinase inhibitor comprising undecylenic acid, salt(s) thereof and/or ester derivative(s) thereof represented by formula (20):

Formula (20)



wherein Rb is hydrogen or a sugar residue derived by the removal of one hydroxyl group from a sugar.

19 (original): The tyrosinase inhibitor according to claim 18, wherein Rb is a sugar residue derived by the removal of one hydroxyl group from a sugar.

20 (original): The tyrosinase inhibitor according to claim 18, which comprises the undecylenic acid and/or salt(s) thereof wherein Rb is hydrogen.

21 (original): An external preparation comprising the tyrosinase inhibitor according to claim 18.

22 (original): The external preparation according to claim 21, which is a cosmetic preparation for skin whitening.

23 (original): A tyrosinase activity promoter comprising ascorbic acid and/or ascorbic acid derivative(s) as an active ingredient.

24 (original): A tyrosinase activity promoter according to claim 23, wherein the ascorbic acid derivative(s) is at least one member selected from the group consisting of ascorbic acid fatty

Int'l Appl. No. : PCT/JP2003/013018
Int'l Filing Date : October 10, 2003

R-126

acid esters; ascorbic acid phosphoric acid esters, fatty acid esters thereof and salts of the phosphoric acid esters and fatty acid esters; ascorbic acid glucosides and fatty acid esters thereof; and ascorbic acid sulfuric acid esters, fatty acid esters thereof, and salts of such sulfuric acid esters and fatty acid esters.

25 (original): An external preparation comprising the tyrosinase activity promoter according to claim 23.

267 (original): An external preparation according to claim 25, which is an external preparation for the hair.

27 (original): An external preparation according to claim 25, which is an external preparation for the skin.

28 (original): An external preparation according to claim 25, wherein the total content of ascorbic acid and ascorbic acid derivative(s) is 0.00001 to 10 wt.% in the whole external preparation.

29 (original): An external preparation according to claim 25, wherein the tyrosinase activity promoter comprises ascorbic acid as an active ingredient, and wherein the content of ascorbic acid is 0.0001 to 1 wt.% in the whole external preparation.

30 (original): An external preparation according to claim 25, wherein the tyrosinase activity promoter comprises, as an active ingredient, at least one compound selected from the group consisting of ascorbic acid phosphoric acid esters and ascorbic acid glucosides, and wherein the content of said at least one compound is 0.001 to 10 wt.% in the whole external preparation.

31 (original): An external preparation according to claim 25, wherein the tyrosinase activity promoter comprises, as an active ingredient, at least one compound selected from the group consisting of fatty acid esters of ascorbic acid, fatty acid esters of ascorbic acid phosphoric acid esters and fatty acid esters of ascorbic acid glucosides, and wherein the content of said at least one compound is 0.00001 to 0.1 wt.% in the whole external preparation.

32 (original): The process for producing an ester, comprising esterifying hydroxyl-containing compound(s) with fatty acid(s) or derivative(s) thereof in the presence of *Candida* antarctica-derived lipase type A in an aprotic organic solvent.

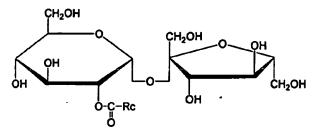
33 (original): The process according to claim 32, wherein the aprotic organic solvent is selected from the group consisting of dimethylformamide, dimethylsulfoxide, and mixtures of dimethylformamide and dimethylsulfoxide.

Int'l Appl. No. : PCT/JP2003/013018
Int'l Filing Date : October 10, 2003

34 (original): The process according to claim 32, wherein the hydroxyl-containing compound(s) is at least one member selected from the group consisting of saccharides, nucleosides, sugar alcohols and hydroxyl-containing amino acids.

35 (original): The process according to claim 34, wherein the hydroxyl-containing compound is a saccharide.

36 (original): The process according to claim 32, wherein the hydroxyl-containing compound is sucrose, and wherein the ester is a compound represented by formula (21). Formula (21)



wherein Rc is a C_{1-24} fatty acid residue.